

**IN THE UNITED STATES PATENT AND TRADEMARK OFFICE**

**In Re Application of:**

**Frans E. Janssens, et al.**

**Confirmation No.: 6412**

**Application No.: 10/540,304**

**Group Art Unit: 1624**

**Filing Date: June 21, 2005**

**Examiner: Emily B. Bernhardt**

**For: SUBSTITUTED 1-PIPERIDIN-4-YL-4-AZETIDIN-3-YL-PIPERAZINE  
DERIVATIVES AND THEIR USE AS NEUROKININ ANTAGONISTS**

ELECTRONICALLY FILED  
DATE OF DEPOSIT: June 1, 2007

Mail Stop Amendment  
Commissioner for Patents  
P.O. Box 1450  
Alexandria, VA 22313-1450

Dear Sir:

**INFORMATION DISCLOSURE STATEMENT**

Pursuant to 37 CFR § 1.56 and in accordance with 37 CFR §§ 1.97-1.98, information relating to the above-identified application is hereby disclosed. Inclusion of information in this statement is not to be construed as an admission that this information is material as that term is defined in 37 CFR § 1.56(b).

- ☒ In accordance with § 1.97(b), since this Information Disclosure Statement is being filed either within three months of the filing date of the above-identified application, within three months of the date of entry into the national stage of the above identified application as set forth in § 1.491, before the mailing date of a first Office Action on the merits of the above-identified application, or

before the mailing date of a first Office Action after the filing of request for continued examination under § 1.114, no additional fee is required.

☒ Copies of reference numbers **4-51** listed on the attached Form PTO-1449 are enclosed herewith.

☒ Copies of reference numbers **1-3** on the attached Form PTO 1449 are not required to be submitted pursuant to 37 CFR § 1.98(a)(2)(ii).

☒ The relevance of those listed references which are not in the English language is as follows:

U.S. Patent No. 5,310,743 (Reference number 1) is an English language equivalent for EP 0 532 456 A1 (Reference No. 8).

Please charge any deficiency or credit any overpayment to Deposit Account No. 23-3050.

Date: June 1, 2007

/S. Maurice Valla/  
S. Maurice Valla  
Registration No. 43,966

WOODCOCK WASHBURN LLP  
Cira Centre  
2929 Arch Street, 12th Floor  
Philadelphia, PA 19104-2891  
Telephone: (215) 568-3100  
Facsimile: (215) 568-3439

<b>Form PTO-1449 Modified</b>  List of Patent and Publications Cited by Applicant (Use several sheets if necessary)  U.S. Department of Commerce Patent and Trademark Office	Docket No. JANS-0076/JAB1730f	Application No. 10/540,304
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### U. S. PATENT DOCUMENTS

Examiner Initial		Document No.	Date	Name	Class	Subclass
	1	5,310,743	05/10/94	Schilling et al.	514	311
	2	5,541,195	07/30/96	Schilling et al.	514	311
	3	5,646,144	07/08/97	Schilling et al.	514	241

### FOREIGN PATENT DOCUMENTS

Examiner Initial		Document No.	Date	Country	Translation	
					YES	NO
	4	01/30348 A1	05/03/01	WO	X	
	5	02/062784 A1	08/15/02	WO	X	
	6	02/32867 A1	04/25/02	WO	X	
	7	97/16440 A1	05/09/97	WO	X	
	8	0 532 456 A1	03/17/93	EP		X

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<b>NON-PATENT DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)</b>			
	<b>9</b>	Aguiar, M. S. et al., "Effects of microinjections of the neuropeptide substance P in the dorsal periaqueductal gray on the behaviour of rats in the plus-maze test," <i>Physiol. Behav.</i> , 1996, 60, 1183-1186	
	<b>10</b>	Antiemetic Subcommittee, "Prevention of chemotherapy- and radiotherapy-induced emesis: results of the Perugia Consensus Conference. Antiemetic Subcommittee of the Multinational Association of Supportive Care in Cancer (MASCC)," <i>Annals Oncol.</i> , 1998, 9(8), 811-819	
	<b>11</b>	Arvanitis, L., "Efficacy and Tolerability of Four Novel Compounds in Schizophrenia: Results of the Metatrial Project," <i>ACNP Meeting</i> , December 10, 2001, Abstract 144, p. 178	
	<b>12</b>	Ballard, T. M. et al., "Inhibition of shock-induced foot tapping behaviour in the gerbil by a tachykinin NK <sub>1</sub> receptor antagonist," <i>Eur. J. Pharmacol.</i> , Feb. 2001, 412(3), 255-264	
	<b>13</b>	Bertand, C. et al., "Tachykinin and kinin receptor antagonists: therapeutic perspectives in allergic airway disease," <i>Trends Pharmacol. Sci.</i> , 1996, 17(7), 255-259	
	<b>14</b>	Brodin, E. et al., "Effects of sequential removal of rats from a group cage, and of individual housing of rats, on substance P, cholecystokinin and somatostatin levels in the periaqueductal grey and limbic regions," <i>Neuropeptides</i> , Apr. 1994, 26(4), 253-260	
	<b>15</b>	Campos et al., "Prevention of cisplatin-induced emesis by the oral neurokinin-1 antagonist, MK-869, in combination with granisetron and dexamethasone or with dexamethasone alone," <i>J. Clin. Oncol.</i> , 2001, 19, 1759-1767	
	<b>16</b>	Cocquyt, V. et al., "Comparison of L-758,298, a prodrug for the selective neurokinin-1 antagonist, L-754,030, with ondansetron for the prevention of cisplatin-induced emesis," <i>Eur. J. Cancer</i> , May 2001, 37(7), 835-842	
	<b>17</b>	Culman, J. et al., "Central tachykinins: mediators of defence reaction and stress reactions," <i>Can. J. Physiol. Pharmacol.</i> , 1995, 73(7), 885-891	

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	<b>18</b>	DeMulder et al., "Ondansetron compared with high-dose metoclopramide in prophylaxis of acute and delayed cisplatin-induced nausea and vomiting. A multicenter, randomized, double-blind, crossover study," <i>Annals of Internal Medicine</i> , 1990, 113, 834-840
	<b>19</b>	Elliott, P.J., "Place aversion induced by the substance P analogue, dimethyl-C7, is not state dependent: implication of substance P in aversion," <i>Exp. Brain Res.</i> 1988, 73(2), 354-356
	<b>20</b>	Giardina, G. et al., "Recent Advances in neurokinin-3 receptor antagonists," <i>Exp. Opin. Ther. Patents</i> , 2000, 10(6), 939-960
	<b>21</b>	Hesketh et al., "Proposal for classifying the acute emetogenicity of cancer chemotherapy," <i>J. Clin. Oncol.</i> , 1997, 15(1), 103-109
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	<b>23</b>	Kramer, M. S. et al., "Distinct mechanism for antidepressant activity by blockade of central substance P receptors," <i>Science</i> , 1998, 281(5383), 1640-1645
	<b>24</b>	Krase et al., "Substance P is involved in the sensitization of the acoustic startle response by footshocks in rats," <i>Behav. Brain. Res.</i> , 1994, 63, 81-88
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	<b>26</b>	Lejeune, F. et al., "Selective, non-peptidergic Neurokinin <sub>1</sub> (NK <sub>1</sub> ) Antagonists Enhance the Activity of Frontocortical Dopaminergic and Adrenergic, but not Serotonergic, Pathways in Rats," <i>Abstracts Soc. Neurosci.</i> , Abstract No. 477.1, November 2001, p. 1253
	<b>27</b>	Longmore, J. et al., "Neurokinin Receptors," <i>DN&amp;P</i> , 1995, 8(1), 5-23
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	<b>29</b>	Maggi, C. A. et al., "The dual nature of the tachykinin NK <sub>1</sub> receptor," <i>Trends Pharmacol. Sci.</i> , 1997, 18(10), 351-355

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	<b>31</b>	Mattson, R. J. et al., "An Improved Method for Reductive Alkylation of Amines Using Titanium (IV) Isopropoxide and Sodium Cyanoborohydride," <i>J. Org. Chem.</i> , 1990, 55, 2552-2554	
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	<b>34</b>	Naylor, R. J. et al., "Emesis and anti-emesis," <i>Cancer Surv.</i> , 1994, 21, 117-135	
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	<b>41</b>	Rupniak, N. M. et al., "Discovery of the antidepressant and anti-emetic efficacy of substance P receptor (NK1) antagonists," <i>Trends Pharmacol. Sci.</i> , 1999, 20(12), 485-490	
	<b>42</b>	Sam, T. S. et al., "Action of glucocorticoids to antagonise cisplatin-induced acute and delayed emesis in the ferret," <i>Eur. J. Pharmacol.</i> , 2001, 417(3), 231-237	
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	<b>44</b>	Stella, V. J. et al., "Prodrugs. Do they have advantages in clinical practice?" <i>Drugs</i> , 1985, 29, 455-473	
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	<b>48</b>	Teixeira, R. M. et al., "Effects of central administration of tachykinin receptor agonists and antagonists on plus-maze behavior in mice," <i>Eur. J. Pharmacol.</i> , 1996, 311, 7-14	
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